6/8/04

=> file registry
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.26	1.26

FILE 'REGISTRY' ENTERED AT 14:06:15 ON 21 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JUN 2004 HIGHEST RN 696584-79-9
DICTIONARY FILE UPDATES: 20 JUN 2004 HIGHEST RN 696584-79-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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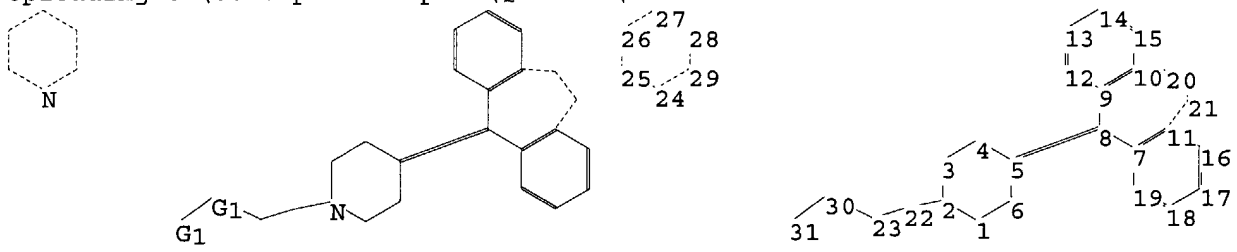
Uploading C:\Stnexp4 corrupted\QUERIES\10658322.str



chain nodes :
22 23 30 31
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 24 25
26 27 28 29
chain bonds :
2-22 5-8 22-23 23-30 30-31
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 7-19 8-9 9-10 9-12 10-15 10-20 11-16
11-21 12-13 13-14 14-15 16-17 17-18 18-19 20-21 24-25 24-29 25-26 26-27
27-28 28-29

6/8/04

exact/norm bonds :
1-2 1-6 2-3 2-22 3-4 4-5 5-6 7-8 8-9 10-20 11-21 20-21 23-30 24-25
24-29 25-26 26-27 27-28 28-29 30-31
exact bonds :
5-8 22-23
normalized bonds :
7-11 7-19 9-10 9-12 10-15 11-16 12-13 13-14 14-15 16-17 17-18 18-19

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom
22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:CLASS
31:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 14:06:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 130 TO ITERATE

100.0% PROCESSED 130 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1916 TO 3284
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 14:06:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2568 TO ITERATE

100.0% PROCESSED 2568 ITERATIONS 43 ANSWERS
SEARCH TIME: 00.00.01

L3 43 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 155.42 156.68

10343616

6/8/04

FILE 'CAPLUS' ENTERED AT 14:06:50 ON 21 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 21 Jun 2004 VOL 140 ISS 26
FILE LAST UPDATED: 20 Jun 2004 (20040620/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 28 L3

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.75	158.43

FILE 'REGISTRY' ENTERED AT 14:08:56 ON 21 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JUN 2004 HIGHEST RN 696584-79-9
DICTIONARY FILE UPDATES: 20 JUN 2004 HIGHEST RN 696584-79-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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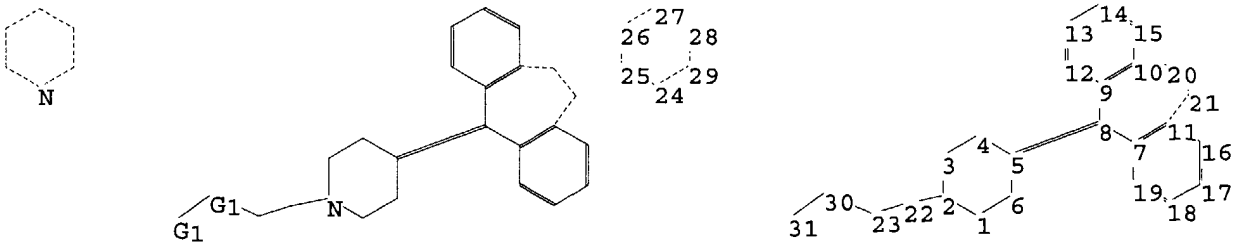
6/8/04



chain nodes :
22 23 30 31
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 24 25 26 27 28 29
chain bonds :
2-22 5-8 22-23 23-30 30-31
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 7-19 8-9 9-10 9-12 10-15 10-20 11-16 11-21 12-13 13-14 14-15 16-17 17-18 18-19 20-21 24-25 24-29 25-26 26-27 27-28 28-29

exact/norm bonds :
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exact bonds :
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normalized bonds :
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G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:CLASS 31:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

6/8/04

=> s l5
SAMPLE SEARCH INITIATED 14:09:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 72 TO ITERATE

100.0% PROCESSED 72 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 931 TO 1949
PROJECTED ANSWERS: 1 TO 80

L6 1 SEA SSS SAM L5

=> s l5 ful
FULL SEARCH INITIATED 14:09:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1351 TO ITERATE

100.0% PROCESSED 1351 ITERATIONS 27 ANSWERS
SEARCH TIME: 00.00.01

L7 27 SEA SSS FUL L5

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY 155.42 TOTAL SESSION 313.85

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 14:09:29 ON 21 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 21 Jun 2004 VOL 140 ISS 26
FILE LAST UPDATED: 20 Jun 2004 (20040620/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L8 20 L7

=> d abs bib fhitstr 1-20

L8 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
AB The invention relates to an intraocular pressure-lowering agent suitable for use for treatment of glaucoma, characterized by containing specified

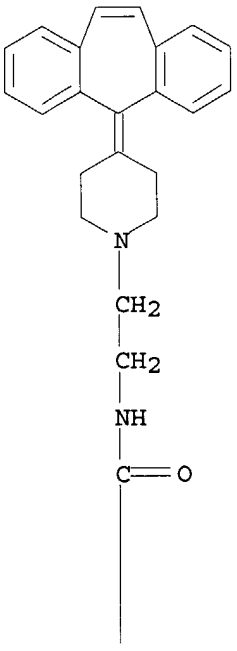
piperidine derivative, e.g. 1-formyl-N-[2-[4-(5H-dibenzo[a,d]cycloheptene-5-ylidene)-1-piperidinyl]]ethylisonipecotic acid amide (I) and 2-butyl-5-[4-(6-fluoro-1H-indazol-3-yl)piperidine-1-yl]-2-(3,4,5-trihydroxyphenyl)valeronitrile. The effect of I on intraocular pressure in rabbits was examined

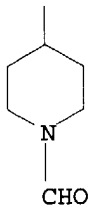
AN 2004:76460 CAPLUS
DN 140:105337
TI Intraocular pressure-lowering agents and anti-glaucoma agents containing defined piperidine derivatives
IN Matsushima, Hiroaki; Fujinaga, Kumiko; Hashimoto, Shigefumi
PA Ajinomoto Co., Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2004026710	A2	20040129	JP 2002-184608	20020625
PRAI	JP 2002-184608		20020625		

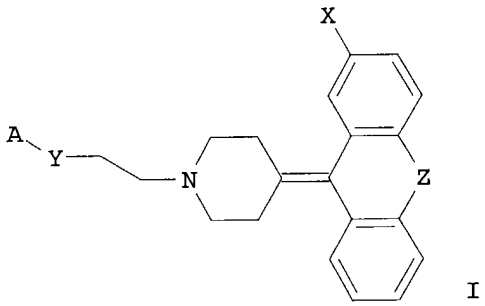
OS MARPAT 140:105337
IT **173722-21-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(intraocular pressure-lowering agents and anti-glaucoma agents containing defined piperidine derivs.)
RN 173722-21-9 CAPLUS
CN 4-Piperidinecarboxamide, N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl- (9CI) (CA INDEX NAME)

PAGE 1-A





L8 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

AB Disclosed are drugs containing as active ingredients the following piperidine derivs. (I) or analog thereof [wherein A = each (un)substituted pyridyl, piperidyl, piperidino, morpholinyl, morpholino, thiomorpholinyl, piperazinyl, C1-8 alkyl, C3-8 cycloalkyl, C1-8 alkoxy, C1-8 monoalkylamino, or C1-8 dialkylamino; X = G, halo; Y = CONH, NHCO, CONHCH2, (CH2)n, CO2 (wherein n = an integer of 0-4); Z = CH:CH, SCH2, CH2S, S, CH2CH2]. These compds. I possess N-type calcium channel inhibitory activity and are reduced in influence on the central nervous system, thereby highly safe, and are useful for the treatment of pains. Thus, 55 mg 2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethylamine was dissolved in 0.5 mL CH2Cl2, treated with 45.7 mg and then slowly with a solution of 14.6 mg Me chloroformate in 0.5 mL CH2Cl2, stirred for 15 min, and treated with saturated aqueous NaHCO3 solution to give, after workup and silica gel chromatog., Me 2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethylcarbamate (II). II and iso-Pr 2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethylcarbamate inhibited N-type calcium channel by 81 and 95%, resp., in human neuroblastoma cell IMR-32.

AN 2003:737725 CAPLUS

DN 139:245911

TI Preparation of piperidine derivatives as therapeutic agent for pain

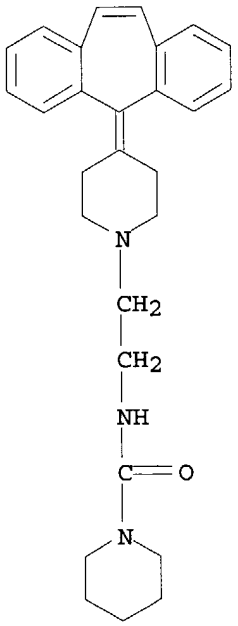
IN Koganei, Hajime; Iwayama, Satoshi; Takeda, Tomoko; Kito, Morikazu; Saitou, Yuki; Ono, Yukitsugu; Kihara, Hideaki; Yamamoto, Takashi; Shoji, Masataka

PA Ajinomoto Co., Inc., Japan

SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076402	A1	20030918	WO 2003-JP2993	20030313

PI WO 2003076402 A1 20030918 WO 2003-JP2993 20030313
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI JP 2002-69177 A 20020313
OS MARPAT 139:245911
IT **599156-91-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. inhibiting N-type calcium channel as therapeutic agent for pain)
RN 599156-91-9 CAPLUS
CN 1-Piperidinecarboxamide, N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AB Disclosed are medicinal compns. useful as preventives/remedies for pain which comprise gabapentin, pregabalin or pharmaceutically acceptable salts thereof combined with N-type calcium channel antagonists or pharmaceutically acceptable salts thereof having specified structures. A compound N-[3-[4-(5H-dibenzo[a,d][7]annulene-5-ylidene)-1-piperidinyl]-3-oxopropyl]-2,2-dimethylpropanamide (I) was prepared The analgesic effect of oral administration of gabapentin 100 mg/kg combined with the compound I 3 mg/kg in pain rat model was examined

AN 2003:633456 CAPLUS
DN 139:154954

TI Medicinal compositions containing gabapentin or pregabalin and N-type calcium channel antagonist

IN Iwayama, Satoshi; Koganei, Hajime; Fujita, Shinichi; Takeda, Tomoko; Yamamoto, Hiroshi; Niwa, Seiji
PA Ajinomoto Co., Inc., Japan

SO PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

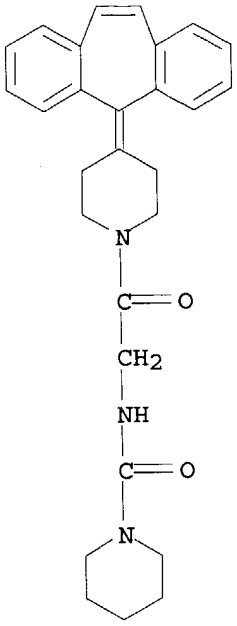
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066040	A1	20030814	WO 2003-JP1163	20030205

PI WO 2003066040 A1 20030814 WO 2003-JP1163 20030205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2002-28208 A 20020205
JP 2002-111068 A 20020412
JP 2002-317480 A 20021031
OS MARPAT 139:154954

IT **500894-94-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(medicinal compns. containing gabapentin or pregabalin and N-type calcium channel antagonist)

RN 500894-94-0 CAPLUS
CN 1-Piperidinecarboxamide, N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AB The purpose of this study was to examine the inhibitory effects of AT-1015, a newly synthesized 5-HT2 receptor antagonist, on serotonin-induced endothelium-dependent relaxation in U 46619 (5+10-9M)-precontracted porcine coronary artery pre-incubated with ketanserin (3+10-6M), and then compare its effects with another potent 5-HT2 antagonist, ritanserin. The investigation showed that AT-1015 (10-8-10-6M) caused rightward shift with significant inhibition of maximum relaxation response induced by serotonin in porcine coronary artery with endothelium. Ritanserin caused a rightward shift of serotonin-induced relaxation without decreasing maximum response at 10-9 and 10-8M, but it inhibited the maximum relaxation response at 10-7M. The study showed that AT-1015 and ritanserin had no inhibitory effect on bradykinin-induced relaxation in porcine coronary artery with endothelium. Thus, these findings suggested that AT-1015 at concns. of 10-8-10-6M caused noncompetitive blockade of serotonin-induced endothelium-dependent relaxation in porcine coronary artery. The antagonistic effects of AT-1015 on serotonin-induced relaxation were different from that of ritanserin, except at 10-7M ritanserin. The variation of inhibitory effects between these two 5-HT2 antagonists may be due to the different chemical structure and/or interaction sites at the receptor.

AN 2003:574956 CAPLUS
DN 139:374649

TI Insurmountable antagonism of AT-1015, a 5-HT2 antagonist, on serotonin-induced endothelium-dependent relaxation in porcine coronary artery

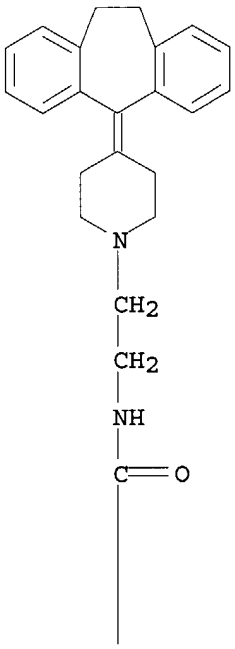
AU Rashid, Mamunur; Nakazawa, Mikio; Nagatomo, Takafumi
CS Department of Pharmacology, Faculty of Pharmaceutical Sciences, Niigata University of Pharmacy and Applied Life Sciences, Niigata, 950-2081, Japan

SO Journal of Pharmacy and Pharmacology (2003), 55(6), 827-832
CODEN: JPPMAB; ISSN: 0022-3573

6/8/04

PB Pharmaceutical Press
DT Journal
LA English
IT **283614-90-4**, AT-1015
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)
(insurmountable antagonism of AT-1015, 5-HT2 antagonist, on serotonin-induced endothelium-dependent relaxation in coronary artery)
RN 283614-90-4 CAPLUS
CN 4-Piperidinecarboxamide, N-[2-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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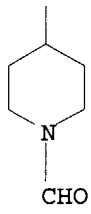


● HCl

6/8/04

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AB Reduced peripheral nerve perfusion participates in the etiol. of diabetic neuropathy. 5-Hydroxtryptamine causes vasa nervorum vasoconstriction and platelet aggregation, which are enhanced by diabetes. To assess whether these mechanisms could contribute to neuropathy, the effects of 5-hydroxytryptamine 5-HT2 receptor antagonist treatment were examined in streptozotocin-induced diabetic rats. One study determined the dose-response relationship for AT1015 (N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidino]ethyl]-1-formyl-4-piperidinecarboxamide monohydrochloride monohydrate). Two weeks AT1015 treatment after 6 wk of diabetes dose-dependently corrected 19.7%, 54.1%, and 15.7% deficits in sciatic nerve motor conduction velocity and blood flow, and saphenous nerve sensory conduction: ED50 values were 0.52, 0.74 and 0.15 mg/kg-1/day-1, resp. In a second study, high-dose AT1015 (3 mg/kg-1/day-1) actions were compared with those of the 5HT2 receptor antagonists, ritanserin (10 mg/kg-1/day-1) and sarpogrelate (100 mg/kg-1/day-1), and the anti-platelet phosphodiesterase III inhibitor, cilostazol (100 mg/kg-1/day-1). Two weeks treatment with these drugs produced a marked correction (82.6-99.7%) of a 19.8% sciatic motor conduction deficit in diabetic rats. Similarly, 44.7% and 14.9% redns. in sciatic endoneurial blood flow and saphenous sensory conduction velocity were completely reversed. Thus, 5-HT2 receptor antagonists had marked beneficial effects in exptl. diabetic neuropathy, and AT1015 appears suitable for further evaluation in clin. trials.

AN 2003:467793 CAPLUS
DN 140:12722

TI The effects of 5-hydroxytryptamine 5-HT2 receptor antagonists on nerve conduction velocity and endoneurial perfusion in diabetic rats

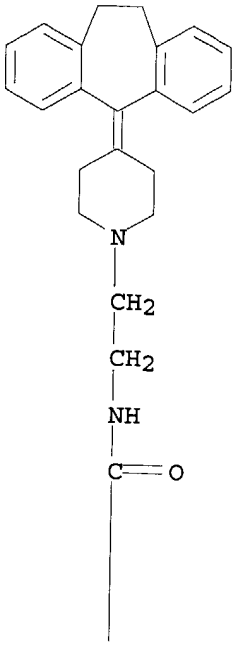
AU Cameron, Norman E.; Cotter, Mary A.
CS Institute of Medical Sciences, Department of Biomedical Sciences, University of Aberdeen, Aberdeen, Foresterhill, AB25 2ZD, UK

SO Naunyn-Schmiedeberg's Archives of Pharmacology (2003), 367(6), 607-614
CODEN: NSAPCC; ISSN: 0028-1298
PB Springer-Verlag
DT Journal
LA English

IT **283614-90-4**, AT1015
RL: PAC (Pharmacological activity); BIOL (Biological study)
(Effects of 5-HT2 receptor antagonists on nerve conduction velocity and endoneurial perfusion in diabetic rats)

RN 283614-90-4 CAPLUS
CN 4-Piperidinecarboxamide, N-[2-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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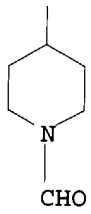
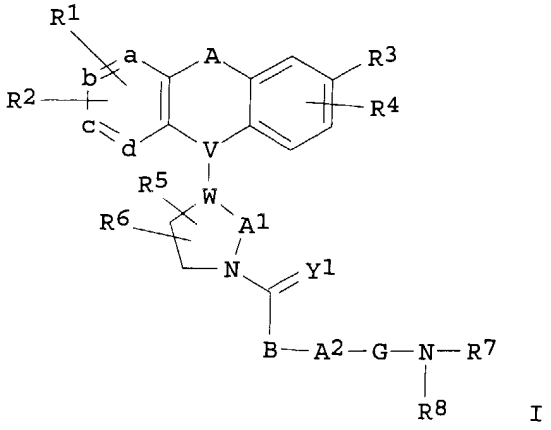


● HCl

RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

AB The title compds. I [A represents CH:CH, etc.; a, b, c, and d each represents CH, etc.; R1, R2, R3, R4, R5, and R6 each represents hydrogen, etc.; V-W represents C:C, etc.; A1 is (CH2)n; n is 0 to 3; Y1 represents oxygen, etc.; B represents (CH2)vCHR21 (v is 0 to 3 and R21 represents hydrogen, lower alkyl, etc.), etc.; G represents CO, a covalent bond, etc.; A2 is (CH2)m; m is 0 to 6; and R7 and R8 each represents hydrogen, lower alkyl, COR18a, COOR20 (R18a and R20 each represents lower alkyl, etc.), etc.] are prepared I are selective N-type calcium channel antagonists. In an in vitro test, compds. of this invention at 10 μM gave 67% to 85% antagonism of N-type calcium channel.

AN 2003:173572 CAPLUS

DN 138:221602

TI Preparation of diarylalkene and diarylalkane derivatives as N-type calcium channel antagonists

IN Yamamoto, Takashi; Niwa, Seiji; Otani, Kayo; Ohno, Seiji; Koganei, Hajime; Iwayama, Satoshi; Takahara, Akira; Ono, Yukitsugu; Takeda, Tomoko; Fujita, Shinichi; Moki, Keiko

PA Ajinomoto Co., Inc., Japan; et al.

SO PCT Int. Appl., 158 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

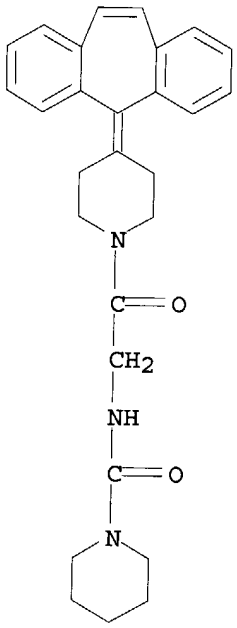
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003018538	A1	20030306	WO 2002-JP8809	20020830

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	JP 2001-263718	A	20010831
	JP 2002-14387	A	20020123
	JP 2002-111067	A	20020412

OS MARPAT 138:221602
IT **500894-94-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylalkene and diarylalkane derivs. as N-type calcium channel inhibitors)
RN 500894-94-0 CAPLUS
CN 1-Piperidinecarboxamide, N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



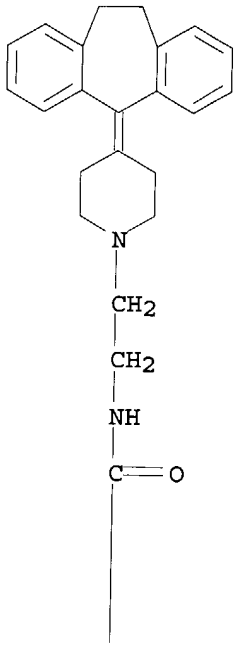
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

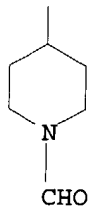
L8 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
AB The purpose of this study was to investigate the association and dissociation kinetics of [3H]AT-1015 from 5-HT2 receptors in rabbit cerebral cortex membranes using a radioligand binding assay method and to make a comparison with those of [3H]ketanserin binding. Scatchard anal. of [3H]AT-1015 binding in rabbit cerebral cortex membranes indicated the existence of a single class of binding sites (dissociation constant, Kd = 2.18 nM). The specific binding of [3H]AT-1015 increased slowly with time and the association rate constant of [3H]AT-1015 binding (k1 = 0.1229 min-1 nM-1) was two times slower than that of [3H]ketanserin binding (k1 = 0.2451 min-1 nM-1). The dissociation rate constant of [3H]AT-1015 binding (t1/2=37.03 min) was six times slower than that of [3H]ketanserin binding (t1/2=6.29 min), when the addition of excess unlabeled ligands were AT-1015 and ketanserin, resp. The dissociation rate constant of [3H]AT-1015 was slowed to a
greater degree (t1/2=163.40 min and t1/2=198.12 min) by the addition of ketanserin and sarpogrelate as excess unlabeled ligands than was that of [3H]ketanserin (t1/2=17.76 min and t1/2=18.45 min) by the addition of AT-1015 and sarpogrelate as an excess unlabeled ligand, resp. These findings on

the dissociation kinetics of [3H]AT-1015 have confirmed and supported previously reported evidence of the slower dissociation of AT-1015 from 5-HT2 receptors.

AN 2002:659439 CAPLUS
DN 138:198479
TI AT-1015, a newly synthesized 5-HT2 receptor antagonist, dissociates slowly from the 5-HT2 receptor sites in rabbit cerebral cortex membrane
AU Rashid, Mamunur; Watanabe, Masatomo; Nakazawa, Mikio; Nagatomo, Takafumi
CS Department of Pharmacology, Niigata College of Pharmacy, Niigata, 950-2081, Japan
SO Journal of Pharmacy and Pharmacology (2002), 54(8), 1123-1128
CODEN: JPPMAB; ISSN: 0022-3573
PB Pharmaceutical Press
DT Journal
LA English
IT **283614-90-4**, AT-1015
RL: PAC (Pharmacological activity); BIOL (Biological study)
(AT-1015 dissocs. slowly from 5-HT2 receptor sites in rabbit cerebral cortex membrane)
RN 283614-90-4 CAPLUS
CN 4-Piperidinecarboxamide, N-[2-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A





● HCl

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AB The antithrombotic activity of N-[2-{4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidino}ethyl]-1-formyl-4-piperidinecarboxamide monohydrochloride monohydrate (AT-1015; a 5-HT2A receptor antagonist) was studied in a photochem. induced arterial thrombosis (PIT) model in the rat femoral artery, and in the tail transection bleeding time test. Ticlopidine (an antiplatelet agent) and sarpogrelate (a selective 5-HT2A receptor antagonist) were studied as reference compds. Pretreatment with AT-1015 (1 mg/kg, p.o.) significantly prolonged the time required to occlusion of the artery with thrombus, and the effect (3 mg/kg, p.o.) persisted for 24 h with significant inhibition of 5-HT-induced vascular contraction. Ticlopidine and sarpogrelate also significantly prolonged the time to occlusion at 100 mg/kg, p.o. Sarpogrelate (300 mg/kg, p.o.) showed the similar antithrombotic efficacy to AT-1015 (3 mg/kg, p.o.), while the effect disappeared within 6 h. No significant bleeding time prolongation was observed at 10 mg/kg of AT-1015, which is 10 times higher than the antithrombotic ED; whereas ticlopidine significantly prolonged bleeding time at the same dose as the antithrombotic ED. These results suggested that AT-1015 is a potent and long-acting oral antithrombotic agent in this model, which may be elucidated by its potent and long-acting inhibition of vasoconstriction through 5-HT2A receptor.

AN 2002:2441 CAPLUS
DN 136:256956

TI Antithrombotic activity of AT-1015, a potent 5-HT2A receptor antagonist, in rat arterial thrombosis model and its effect on bleeding time

AU Kihara, Hideaki; Koganei, Hajime; Hirose, Ken; Yamamoto, Hiroshi; Yoshimoto, Ryota
CS Pharmaceutical Research Laboratories, Ajinomoto Co., Inc., Kawasaki-ku, Kawasaki, 210-8681, Japan

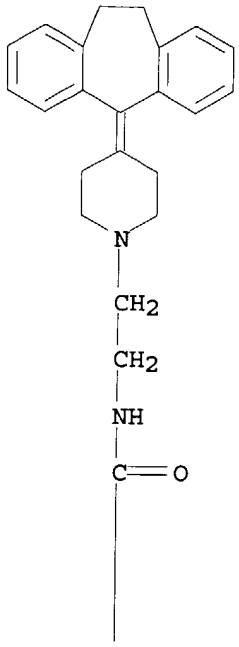
SO European Journal of Pharmacology (2001), 433(2-3), 157-162
CODEN: EJPHAZ; ISSN: 0014-2999
PB Elsevier Science B.V.
DT Journal
LA English

IT **283614-90-4**, AT-1015
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antithrombotic activity of AT-1015, a potent 5-HT2A receptor antagonist, in rat arterial thrombosis model and effect on bleeding time)

RN 283614-90-4 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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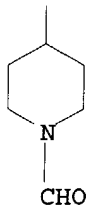

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RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AB This study investigated the binding affinities of a newly synthesized 5-HT2 antagonist, AT-1015 (N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-piperidino]ethyl]-1-formyl-4-piperidinecarboxamide monohydrochloride monohydrate) for [3H]ketanserin bindings to 5-HT2 receptors in the rabbit

cerebral cortex membranes using the radioligand binding assay method. The affinity of this compound was also compared with other 5-HT2-selective antagonists such as ketanserin, sarpogrelate, cyproheptadine and ritanserin, and the results showed that AT-1015 has a high pKi value for the 5-HT2 receptor. The rank order of these antagonists are: ritanserin > ketanserin .simeq. AT-1015 > cyproheptadine .simeq. sarpogrelate. We also evaluated the dissociation ability (slow or rapid) of AT-1015 in the rabbit cerebral cortex membrane and compared it with other 5-HT2 antagonists using the radioligand binding assay method. The blockade of [3H]ketanserin binding sites in the rabbit cerebral cortex induced by ketanserin and sarpogrelate was readily reversed by washing, whereas the inhibition by AT-1015, cyproheptadine and ritanserin was not readily reversed by washing. The % of control after washing are 76.10% and 49.55% for AT-1015 at 10-7.5 and 10-7.0 M, 67.32% and 50.17% for cyproheptadine at 10-7.5 and 10-7.0 M, and 72.38% and 39.80% for ritanserin at 10-9.5 and 10-9.0 M concns., resp. Thus, these findings suggest that AT-1015 has antagonistic properties towards the 5-HT2 receptor and also shows that AT-1015 slowly dissocs. from the 5-HT2 receptor, whereas, ketanserin and sarpogrelate dissociate rapidly from the 5-HT2 receptor, which do not correlate with their affinity.

AN 2001:888628 CAPLUS

DN 136:161270

TI Assessment of affinity and dissociation ability of a newly synthesized 5-HT2 antagonist, AT-1015: comparison with other 5-HT2 antagonists

AU Rashid, Mamunur; Watanabe, Masatomo; Nakazawa, Mikio; Nakamura, Takashi; Hattori, Kaoru; Nagatomo, Takafumi

CS Department of Pharmacology, Niigata College of Pharmacy, Niigata, 950-2081, Japan

SO Japanese Journal of Pharmacology (2001), 87(3), 189-194
CODEN: JJPAAZ; ISSN: 0021-5198

PB Japanese Pharmacological Society

DT Journal

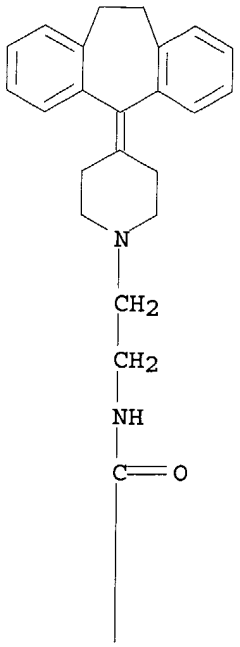
LA English

IT **283614-90-4**, AT-1015
RL: PAC (Pharmacological activity); BIOL (Biological study)
(assessment of affinity and dissociation ability of a newly synthesized 5-HT2 antagonist, AT-1015 and comparison with other 5-HT2 antagonists)

RN 283614-90-4 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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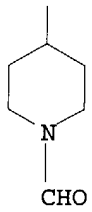

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RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

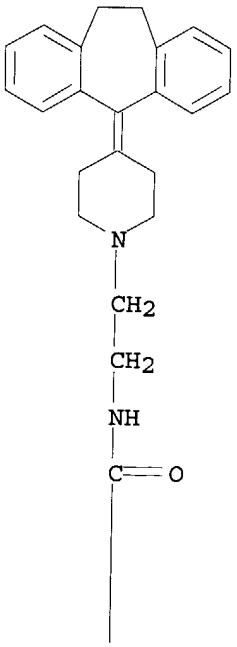
L8 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

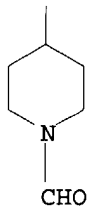
AB The object of this study was to investigate the binding affinity of a newly synthesized 5-HT2 antagonist, (N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-piperidino]ethyl]-1-formyl-4-piperidinecarboxamide monohydrochloride monohydrate) (AT-1015), in the rabbit platelet membrane using [3H]-ketanserin by radioligand binding assay method and to compare the results with other selective 5-HT2 antagonists. The results showed that AT-1015 displayed high affinity to 5-HT2 receptors in rabbit platelet membranes. The pKi value of AT-1015 was 7.40, which is slightly lower than that of ketanserin, but higher than that of cyproheptadine. On the

other hand, the displacement potency of AT-1015 for 5-HT2 receptors in rabbit platelets was similar to those of sarpogrelate and ritanserin. This is the first report of the high affinity of AT-1015 in rabbit platelets.

AN 2001:729083 CAPLUS
DN 136:63980
TI Binding affinity of a newly synthesized 5-HT2 antagonist, AT-1015 (N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-piperidino]ethyl]-1-formyl-4-piperidinecarboxamide monohydrochloride monohydrate), in the rabbit platelet membrane
AU Rashid, Mamunur; Watanabe, Masatomo; Nakazawa, Mikio; Nagatomo, Takafumi
CS Department of Pharmacology, Niigata College of Pharmacy, Niigata, 950-2081, Japan
SO Biological & Pharmaceutical Bulletin (2001), 24(10), 1188-1190
CODEN: BPBLEO; ISSN: 0918-6158
PB Pharmaceutical Society of Japan
DT Journal
LA English
IT **283614-90-4**, AT 1015
RL: PAC (Pharmacological activity); BIOL (Biological study)
(binding affinity of AT-1015 to 5-HT2 receptors in rabbit platelet membrane)
RN 283614-90-4 CAPLUS
CN 4-Piperidinecarboxamide, N-[2-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A





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RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
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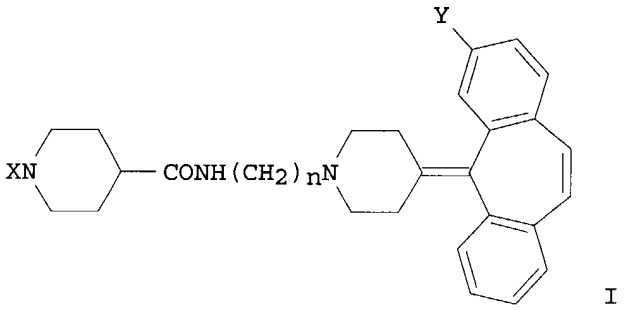


I

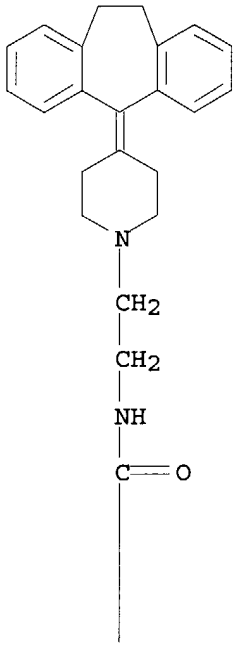
AB A pharmaceutical composition is disclosed for use in the treatment of diabetic neuropathy, which comprises a piperidine derivative I (n = 2, 3; Y = H, halo; X = H, formyl, acetyl), or a pharmaceutically acceptable salt thereof, as an effective ingredient. Compds. of the invention include e.g. 1-formyl-N-[2-(4-(5H-dibenzo[a,d]cycloheptene-5-ylidene)-1-piperidinyl)]ethylisonipecotamide (preparation described).

AN 2001:521908 CAPLUS
DN 135:102575
TI Pharmaceutical composition using a piperidine derivative for use in the treatment of diabetic neuropathy
IN Cameron, Norman E.; Kihara, Hideaki; Yoshimoto, Ryota
PA Ajinomoto Co., Inc., Japan
SO U.S., 6 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6262076	B1	20010717	US 2000-493252	20000128

PRAI US 2000-493252 20000128
OS MARPAT 135:102575
IT **173722-52-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(piperidine derivative for use in the treatment of diabetic neuropathy)
RN 173722-52-6 CAPLUS
CN 4-Piperidinecarboxamide, N-[2-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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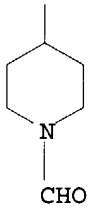


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
AB Inhibitory effects of a newly synthesized 5-HT2 receptor antagonist,

AT-1015, on contraction and relaxation of coronary arteries of pig hearts mediated by 5-HT2 subtypes were evaluated and these results were compared with those of ketanserin. Contraction and relaxation were determined by adding 5-HT or α-methylserotonin (α-Me-5-HT) as agonists. Although ketanserin induced rightward shifts of contraction, AT-1015 inhibited the maximal response. In addition, ketanserin inhibited relaxation induced by high concentration of agonists, but there were no inhibitory effects of AT-1015 on relaxation. Thus, these results suggest that AT-1015 is a strong non-competitive 5-HT2 antagonist in porcine coronary arteries and that this drug clearly exhibited different effects on the contraction and relaxation of coronary arteries of pig hearts from those of ketanserin.

AN 2000:643781 CAPLUS

DN 133:305450

TI Inhibitory effects of a newly synthesized 5-HT2 receptor antagonist, AT-1015 (N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidino]ethyl]-1-formyl-4-piperidinecarboxamide monohydrochloride monohydrate), on contraction and relaxation of pig coronary arteries induced by 5-HT and α-methylserotonin: comparison with ketanserin

AU Gong, Haibin; Rashid, Mamunur; Nakamura, Takashi; Hattori, Kaoru; Nakamura, Mikio; Kihara, Hideaki; Yoshimoto, Ryota; Nagatomo, Takafumi

CS Department of Pharmacology, Niigata College of Pharmacy, Niigata, 950-2081, Japan

SO Biological & Pharmaceutical Bulletin (2000), 23(9), 1105-1107
CODEN: BPBLEO; ISSN: 0918-6158

PB Pharmaceutical Society of Japan

DT Journal

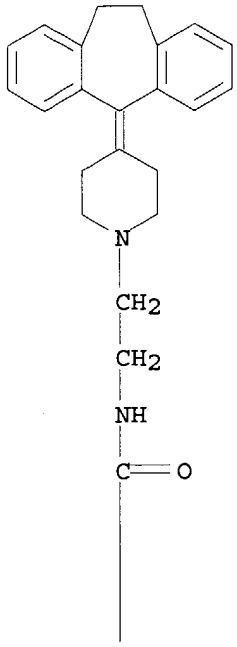
LA English

IT **283614-90-4**, AT 1015
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(AT 1015; inhibitory effects of AT-1015 on contraction and relaxation of pig coronary arteries induced by 5-HT and α-methylserotonin and comparison with ketanserin)

RN 283614-90-4 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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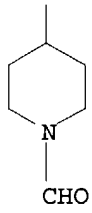

● HCl

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
AB Disclosed are intermittent claudication remedies containing as the active ingredient 1-formyl-N-[2-(4-(5H-dibenzo[a,d]cyclo-hepten-5-ylidene)-1-piperidinyl)]ethylisonipecotamide or analogs.
AN 2000:627989 CAPLUS
DN 133:202997
TI Piperidinecarboxamides for the treatment of intermittent claudication
IN Komiyama, Takashi; Kihara, Hideaki; Hirose, Ken; Sigematsu, Hiroshi; Yoshimoto, Ryota
PA Ajinomoto Co., Inc., Japan

SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000051604	A1	20000908	WO 2000-JP1046	20000224
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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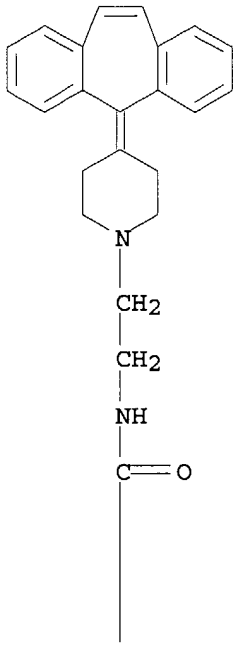
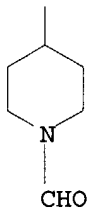
	NZ 513687	A	20010928	NZ 2000-513687	20000224
	BR 2000008543	A	20011106	BR 2000-8543	20000224
	EP 1155693	A1	20011121	EP 2000-905302	20000224
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BG 105826	A	20020430	BG 2001-105826	20010816
	ZA 2001006782	A	20020816	ZA 2001-6782	20010816
	US 2002037906	A1	20020328	US 2001-933908	20010822
	US 6476049	B2	20021105		
	NO 2001004125	A	20010824	NO 2001-4125	20010824
PRAI	JP 1999-50150	A	19990226		
	WO 2000-JP1046	W	20000224		

OS MARPAT 133:202997
IT **173722-21-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(piperidinecarboxamides for the treatment of intermittent claudication)
RN 173722-21-9 CAPLUS
CN 4-Piperidinecarboxamide, N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AB The serotonin (5-HT2A) antagonistic activities and the protective effect on laurate-induced peripheral vascular lesions of AT-1015, a novel 5-HT2 receptor antagonist, were investigated. In platelet aggregation, AT-1015 selectively inhibited in vitro 5-HT2A receptor-mediated aggregation, and the activity was almost equivalent to that of ketanserin (5-HT2A/2C receptor antagonist) and 100 times more potent than sarpogrelate (5-HT2A receptor antagonist). AT-1015 also inhibited 5-HT2A receptor-mediated aggregation by oral administration in rat, and the dose required for inhibition was equivalent to ketanserin. In a 5-HT-induced vasoconstriction study in rat, AT-1015 slightly reduced maximal contraction and caused a rightward shift of the concentration-response curve (pKB value, 9.5), which was unlike competitive inhibitors such as ketanserin and sarpogrelate (pA2 value, 9.3 and 8.7, resp.). Moreover, the ex vivo inhibitory activity significantly

remained after oral administration (1 mg/kg). In the rat peripheral vascular lesion model, AT-1015 (1 mg/kg, p.o.) effectively prevented progression of peripheral lesions, and it was more potent compared with ketanserin, sarpogrelate, and cilostazol. These results suggest that AT-1015 is a potent 5-HT2A receptor antagonist, and its insurmountable antagonism may be relevant to its therapeutic potential in peripheral vascular disease.

AN 2000:240305 CAPLUS

DN 133:99326

TI AT-1015, a novel serotonin (5-HT)2 receptor antagonist, blocks vascular and platelet 5-HT2A receptors and prevents the laurate-induced peripheral vascular lesion in rats

AU Kihara, Hideaki; Hirose, Ken; Koganei, Hajime; Sasaki, Noriko; Yamamoto, Hiroshi; Kimura, Ayahito; Nishimori, Tsukao; Shoji, Masataka; Yoshimoto, Ryota

CS Pharmaceutical Research Laboratories, Ajinomoto Co., Inc., Kawasaki, 210-8681, Japan

SO Journal of Cardiovascular Pharmacology (2000), 35(4), 523-530
CODEN: JCPCDT; ISSN: 0160-2446

PB Lippincott Williams & Wilkins

DT Journal

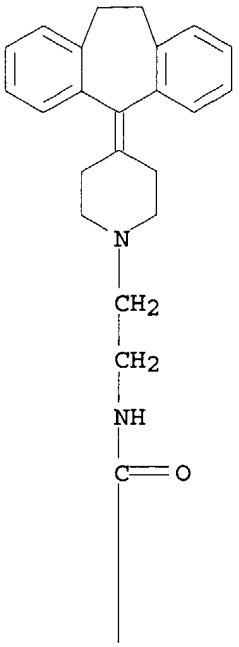
LA English

IT **283614-90-4**, AT 1015
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(AT-1015, a novel serotonin (5-HT)2 receptor antagonist, blocks vascular and platelet 5-HT2A receptors and prevents the laurate-induced peripheral vascular lesion in rats)

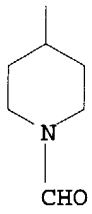
RN 283614-90-4 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



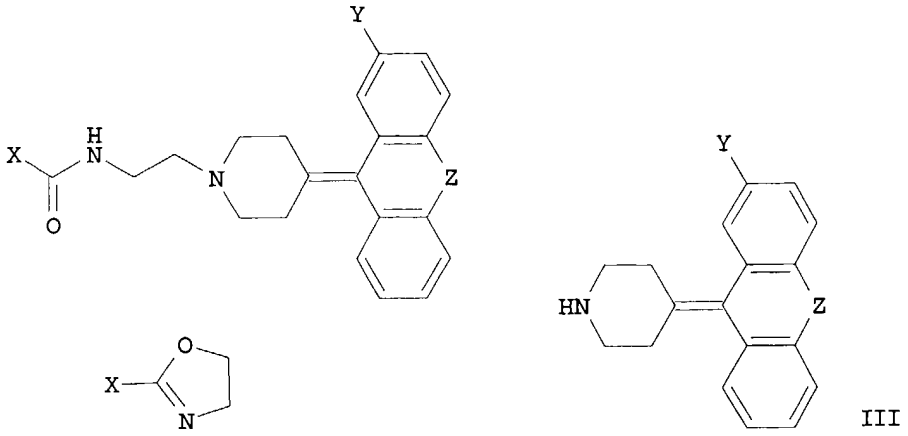
PAGE 2-A



● HCl

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
GI



III

AB Characterized is an industrial process for producing piperidinecarboxylic acid amides [I; X = (un)substituted alkyl or alkenyl, heterocyclyl, etc.; Y = H, halo; Z = O, S, SCH2, etc.;] by reacting 2-oxazoline compds. (II; X = same as above) with piperidine derivs. (III; Y, Z = same as above) in the presence of acids. I are useful as intermediates in the production of serotonin antagonists, thrombocytic agents, etc. Thus, III (Z = CH2CH2, Y = H) was reacted with 2-methyl-2-oxazoline in the presence of p-TsOH to give 93% I (X = Me, Y, Z = same as above).

AN 1998:682361 CAPLUS

DN 129:302560

TI Process for producing piperidinecarboxylic acid amides

IN Arai, Isao; Yamamoto, Takashi; Naora, Hirokazu

PA Ajinomoto Co., Inc., Japan

SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9845264	A1	19981015	WO 1998-JP1460	19980331
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9865204	A1	19981030	AU 1998-65204	19980331
	EP 976735	A1	20000202	EP 1998-911118	19980331
	EP 976735	B1	20031029		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
	EP 1325913	A2	20030709	EP 2003-6439	19980331
	EP 1325913	A3	20031008		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
	CN 1126740	B	20031105	CN 1998-804028	19980331
	AT 253048	E	20031115	AT 1998-911118	19980331
	TW 418191	B	20010111	TW 1998-87104896	19980401

	US 6207834	B1	20010327	US 1999-415066	19991012
	US 6355804	B1	20020312	US 2000-750243	20001229
PRAI	JP 1997-90564	A	19970409		
	EP 1998-911118	A3	19980331		
	WO 1998-JP1460	W	19980331		
	US 1999-415066	A1	19991012		

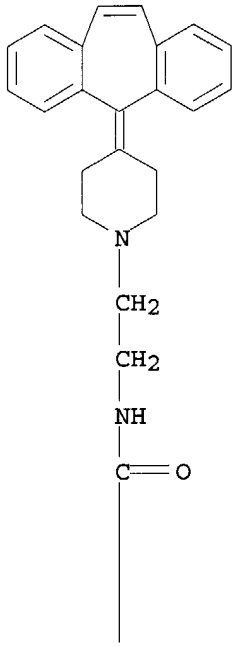
OS CASREACT 129:302560; MARPAT 129:302560

IT **173722-21-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for producing piperidinecarboxylic acid amides)

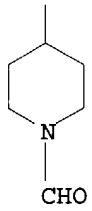
RN 173722-21-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl- (9CI) (CA INDEX NAME)

PAGE 1-A



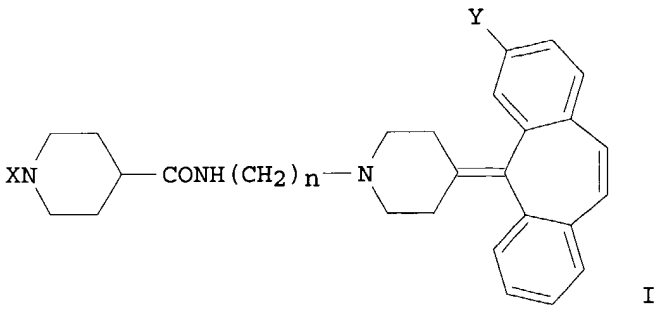
PAGE 2-A



6/8/04

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

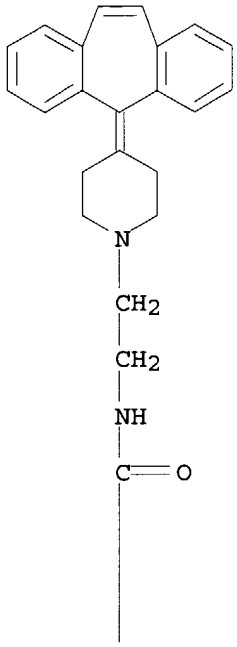
AB The invention relates to a thrombolytic agent comprising as the active ingredient cyproheptadine, a compound represented by general formula (I), or a salt thereof. The drug can increase the release of tissue plasminogen activator (t-PA) and has no influence on or reduces the release of type 1 plasminogen activator inhibitor (PAI-1) which deactivates t-PA. In said formula, n is an integer of 2 or 3; Y represents a hydrogen atom or a halogen atom; and X represents a formyl group, an acetyl group, or a hydrogen atom.

AN 1998:605098 CAPLUS
DN 129:211714
TI Thrombolytic agent
IN Kawai, Yohko; Watanabe, Kiyoaki; Kihara, Hideaki; Yamamoto, Hiroshi; Yoshimoto, Ryota
PA Ajinomoto Co., Inc., Japan
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

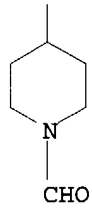
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9837888	A1	19980903	WO 1998-JP737	19980224
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9862303	A1	19980918	AU 1998-62303	19980224
	EP 1008348	A1	20000614	EP 1998-904426	19980224
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	TW 443930	B	20010701	TW 1998-87102794	19980226
	US 6303635	B1	20011016	US 1999-384214	19990827
PRAI	JP 1997-43706	A	19970227		

JP 1997-43707 A 19970227
WO 1998-JP737 W 19980224
OS MARPAT 129:211714
IT **190508-50-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thrombolytic agent)
RN 190508-50-0 CAPLUS
CN 4-Piperidinecarboxamide, N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



● HCl

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AB Crystals of N-(2-(4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidino)ethyl)-1-formyl-4-piperidinecarboxamide hydrochloride (I) mono- and trihydrate are claimed. Thus, α-type anhydrous I (preparation given) was kept at 40° and 75% relative humidity to give I monohydrate. The monohydrate and trihydrate exhibit excellent stability; the monohydrate exhibits excellent oral absorption, and the trihydrate exhibits a high dissoln. rate, making them suitable for use in drug products to meet various requirements.

AN 1997:400005 CAPLUS
DN 127:17593

TI Preparation of crystalline N-(2-(4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidino)ethyl)-1-formyl-4-piperidinecarboxamide hydrochloride monohydrate and trihydrate.

IN Fujii, Akiko; Kubo, Mie; Yamamoto, Tomoya; Shimada, Jiro; Mihara, Ryuichi; Naora, Hirokazu; Asai, Koji
PA Ajinomoto Co., Inc., Japan

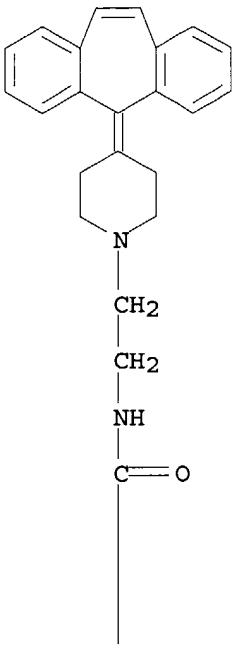
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 770602	A2	19970502	EP 1996-307583	19961018
	EP 770602	A3	19970514		
	EP 770602	B1	20031210		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
	JP 09176119	A2	19970708	JP 1996-263010	19961003
	JP 2914324	B2	19990628		
	AT 256111	E	20031215	AT 1996-307583	19961018
	CA 2188507	AA	19970424	CA 1996-2188507	19961022
	CN 1151403	A	19970611	CN 1996-112488	19961023
	CN 1121402	B	20030917		
	US 6184233	B1	20010206	US 1996-735696	19961023
	US 6232323	B1	20010515	US 2000-672080	20000929
	US 2001056102	A1	20011227	US 2001-776854	20010206
	US 6444821	B2	20020903		
PRAI	JP 1995-274175	A	19951023		
	JP 1996-263010	A	19961003		
	US 1996-735696	A1	19961023		
	US 2000-672080	A1	20000929		

IT **190508-48-6P**, N-(2-(4-(5H-Dibenzo[a,d]cyclohepten-5-ylidene)piperidino)ethyl)-1-formyl-4-piperidinecarboxamide hydrochloride monohydrate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystalline N-(2-(4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidino)ethyl)-1-formyl-4-piperidinecarboxamide hydrochloride monohydrate and trihydrate)

RN 190508-48-6 CAPLUS
CN 4-Piperidinecarboxamide, N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

PAGE 1-A



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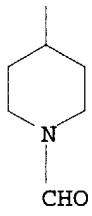

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● H_2O

L8 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
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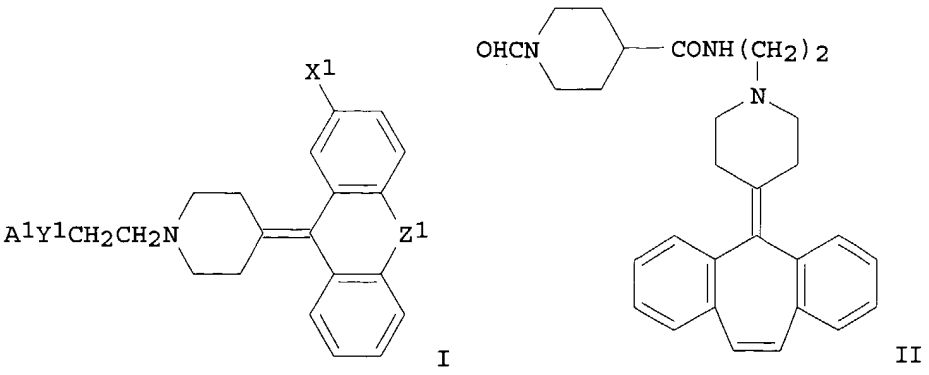


AB The title compds. [I; A1 = (un)substituted pyridyl, piperidyl, piperidino, morpholinyl, morpholino, thiomorpholinyl, thiomorpholino, piperazinyl, (un)substituted alkyl or cycloalkyl, etc.; X1 = H, halogen atom; Y1 = CONH, NHCO, CONHCH2, O(CH2)n, CO2; n = 0-4; Z1 = CH=CH, SCH2, S, CH2CH2], useful as blood platelet aggregation inhibitors which specifically inhibit the serotonin 2 receptor, are prepared Thus, piperidine derivative II was prepared which demonstrated a pKi of 8.4.

AN 1995:997894 CAPLUS

DN 124:175843

TI Preparation of piperidine-derivative blood platelet aggregation inhibitors and serotonin antagonists

IN Makino, Shingo; Arisaka, Harumi; Yamamoto, Hiroshi; Shoji, Masataka; Yoshimoto, Ryota

PA Ajinomoto co., Inc., Japan

SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 682015	A1	19951115	EP 1995-302647	19950420
	EP 682015	B1	20010822		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CA 2147429	AA	19951021	CA 1995-2147429	19950420
	CN 1112560	A	19951129	CN 1995-104192	19950420
	CN 1056143	B	20000906		
	JP 08003135	A2	19960109	JP 1995-94676	19950420
	JP 2962186	B2	19991012		
	JP 2001002571	A2	20010109	JP 2000-175490	19950420
	EP 1103544	A2	20010530	EP 2001-103999	19950420
	EP 1103544	A3	20010606		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	AT 204566	E	20010915	AT 1995-302647	19950420
	ES 2161828	T3	20011216	ES 1995-302647	19950420
	PT 682015	T	20020130	PT 1995-302647	19950420
	US 5932593	A	19990803	US 1997-917180	19970825
	JP 11246526	A2	19990914	JP 1998-372550	19981228
	JP 3215676	B2	20011009		
	US 2002019533	A1	20020214	US 1999-245846	19990208
	US 2002147195	A1	20021010	US 2002-101980	20020321
	US 2004063701	A1	20040401	US 2003-658322	20030910

PRAI JP 1994-81499 A 19940420
EP 1995-302647 A3 19950420
JP 1995-94676 A3 19950420
JP 1998-372550 A3 19950420
US 1995-425645 B1 19950420
US 1997-917180 A1 19970825
US 1999-245846 B3 19990208
US 2002-101980 B1 20020321

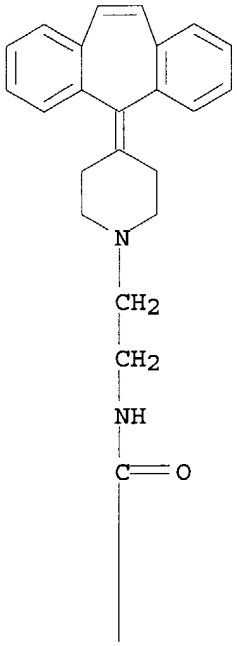
OS MARPAT 124:175843

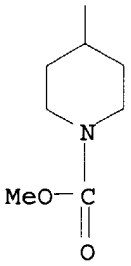
IT **173722-14-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine-derivative blood platelet aggregation inhibitors and
serotonin antagonists)

RN 173722-14-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A





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L8 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB QXm(CR1:CR2)nCH2A [I; A = organic group Q1 (wherein Z = CH2, O, S), Q2 (wherein Z1 = O, S, CH:CH), Q3, Q4; R1, R2 = H, Me, Et; m, n = 0,1; Q = (un)substituted Ph, pyridyl, tetrahydropyranyl, cyclohexyl, piperidinyl, or indanyl; X = (CH2)k (wherein k = 0-3), NHCO(CH2)k, CO(CH2)k] are prepared Thus, chlorination of 4-(1-imidazolylmethyl)cinnamic alc. with SOCl2 in CHCl3 and condensation of the resulting 4-(1-imidazolylmethyl)cinnamyl chloride with 4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidine in the presence of K2CO3 and NaI in iso-BuCOMe at 90° gave 36.1% title compound II (R3 = 1-imidazolylmethyl). A total of 72 I were prepared and II (R3 = CF3CONH), at 100 μg/kg i.v., inhibited the arrhythmia induced by adrenaline (2.5-5 μg/kg) in dogs by 100% after 15 min.

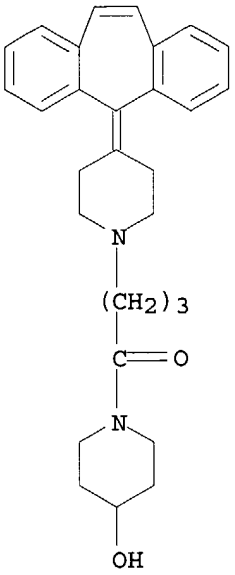
AN 1994:270113 CAPLUS
DN 120:270113
TI Preparation of piperidine derivatives as antiarrhythmics
IN Hirasawa, Akira; Suzuki, Noboru; Yoshimoto, Ryota; Suzuki, Nobuyasu; Kanematsu, Akira; Shoji, Masataka
PA Ajinomoto KK, Japan
SO Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 05097808	A2	19930420	JP 1991-260838	19911008
	JP 2961995	B2	19991012		
PRAI	JP 1991-260838		19911008		

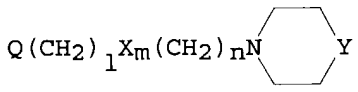
OS MARPAT 120:270113
IT **141840-03-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiarrhythmic)

6/8/04

RN 141840-03-1 CAPLUS
CN 4-Piperidinol, 1-[4-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]-1-oxobutyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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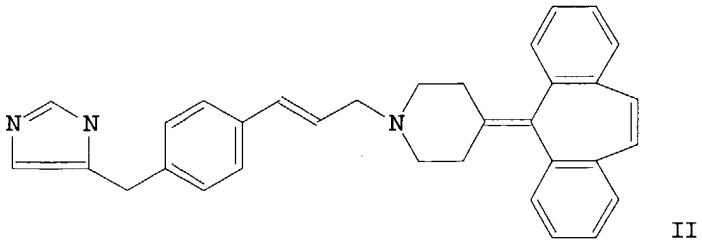


II

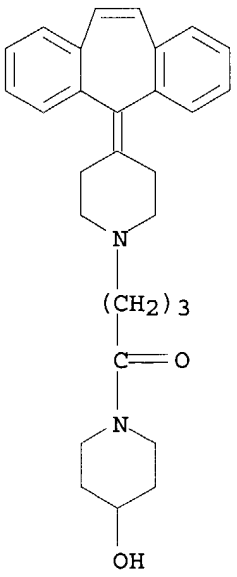
AB Title compds. [I; Q = (substituted) Ph, cyclohexyl, piperidinyl, tetrahydropyranyl, pyridyl, (N-methyl)pyrrolyl, thienyl, furyl, hexyl, cyano; X = CO, NHCO, NHCONH, SO2NH, S, O, R1C:CR2, CR3(CN); Y = Ph2C:C, (4-FC6H4)2C:C, 4-FC6H4COCH, PhCH, PhCOCH, etc.; R1, R2 = H, Me, Et, Pr; R3 = H, C1-12 alkyl; aryl; l, m = 0, 1; n = 0-6] were prepared Thus, 4-(N-imidazolylmethyl)cinnamyl alc. was stirred 2 h with SOCl2 in CHCl3 and the product was stirred with 4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidine, K2CO3, and NaI in MeCOCH2CHMe2 at 90° to give title compound II. I inhibited CHCl3-induced arrhythmia/tachycardia in mice

with min ED of 10-100 mg/kg i.p.

AN 1992:426350 CAPLUS
DN 117:26350
TI Preparation of piperidine derivatives as antiarrhythmic agents
IN Hirasawa, Akira; Shoji, Masataka; Yoshimoto, Ryota; Gyotoku, Yuichi; Eguchi, Chikahiko
PA Ajinomoto Co., Inc., Japan
SO Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 479601	A2	19920408	EP 1991-309103	19911004
	EP 479601	A3	19920812		
	EP 479601	B1	19991215		
	R: DE, FR, GB, IT				
	JP 05025044	A2	19930202	JP 1991-254951	19911002
	JP 2853404	B2	19990203		
	US 5229400	A	19930720	US 1991-770892	19911004
PRAI	JP 1990-269193		19901005		

OS MARPAT 117:26350
IT **141840-03-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiarrhythmic)
RN 141840-03-1 CAPLUS
CN 4-Piperidinol, 1-[4-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]-1-oxobutyl]- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION

10343616

L8 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

AB Crystals of N-(2-(4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidino)ethyl)-1-formyl-4-piperidinecarboxamide hydrochloride (I) mono- and trihydrate are claimed. Thus, α-type anhydrous I (preparation given) was kept at 40° and 75% relative humidity to give I monohydrate. The monohydrate and trihydrate exhibit excellent stability; the monohydrate exhibits excellent oral absorption, and the trihydrate exhibits a high dissoln. rate, making them suitable for use in drug products to meet various requirements.

AN 1997:400005 CAPLUS
DN 127:17593

TI Preparation of crystalline N-(2-(4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidino)ethyl)-1-formyl-4-piperidinecarboxamide hydrochloride monohydrate and trihydrate.

IN Fujii, Akiko; Kubo, Mie; Yamamoto, Tomoya; Shimada, Jiro; Mihara, Ryuichi; Naora, Hirokazu; Asai, Koji
PA Ajinomoto Co., Inc., Japan

SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 770602	A2	19970502	EP 1996-307583	19961018
	EP 770602	A3	19970514		
	EP 770602	B1	20031210		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
	JP 09176119	A2	19970708	JP 1996-263010	19961003
	JP 2914324	B2	19990628		
	AT 256111	E	20031215	AT 1996-307583	19961018
	CA 2188507	AA	19970424	CA 1996-2188507	19961022
	CN 1151403	A	19970611	CN 1996-112488	19961023
	CN 1121402	B	20030917		
	US 6184233	B1	20010206	US 1996-735696	19961023
	US 6232323	B1	20010515	US 2000-672080	20000929
	US 2001056102	A1	20011227	US 2001-776854	20010206
	US 6444821	B2	20020903		
PRAI	JP 1995-274175	A	19951023		
	JP 1996-263010	A	19961003		
	US 1996-735696	A1	19961023		
	US 2000-672080	A1	20000929		

IT **190508-48-6P**, N-(2-(4-(5H-Dibenzo[a,d]cyclohepten-5-ylidene)piperidino)ethyl)-1-formyl-4-piperidinecarboxamide hydrochloride monohydrate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystalline N-(2-(4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidino)ethyl)-1-formyl-4-piperidinecarboxamide hydrochloride monohydrate and trihydrate)

RN 190508-48-6 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-piperidinyl]ethyl]-1-formyl-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

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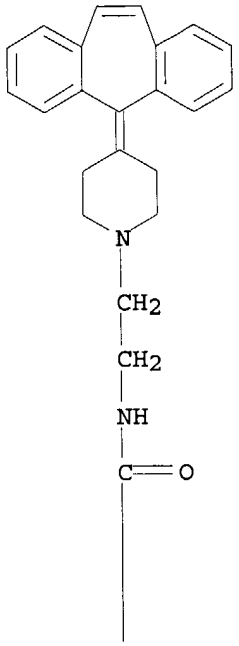
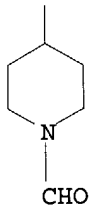


PAGE 2-A



● HCl

● H_2O

L8 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN
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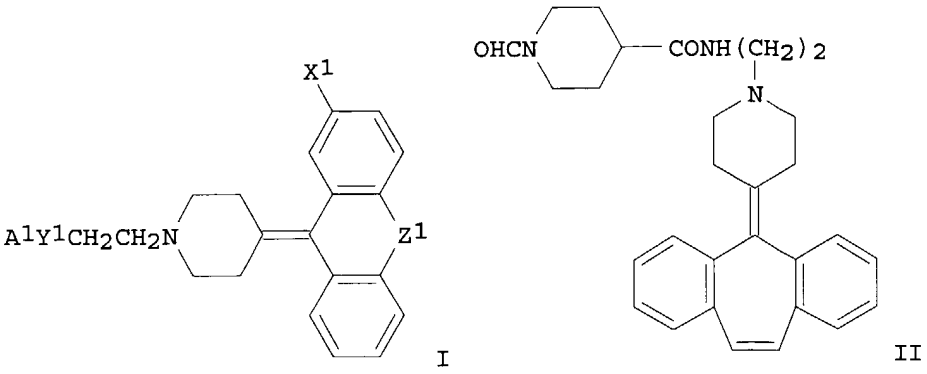


AB The title compds. [I; A1 = (un)substituted pyridyl, piperidyl, piperidino, morpholinyl, morpholino, thiomorpholinyl, thiomorpholino, piperazinyl, (un)substituted alkyl or cycloalkyl, etc.; X1 = H, halogen atom; Y1 = CONH, NHCO, CONHCH2, O(CH2)n, CO2; n = 0-4; Z1 = CH=CH, SCH2, S, CH2CH2], useful as blood platelet aggregation inhibitors which specifically inhibit the serotonin 2 receptor, are prepared Thus, piperidine derivative II was prepared which demonstrated a pKi of 8.4.

AN 1995:997894 CAPLUS

DN 124:175843

TI Preparation of piperidine-derivative blood platelet aggregation inhibitors and serotonin antagonists

IN Makino, Shingo; Arisaka, Harumi; Yamamoto, Hiroshi; Shoji, Masataka; Yoshimoto, Ryota

PA Ajinomoto co., Inc., Japan

SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 682015	A1	19951115	EP 1995-302647	19950420
	EP 682015	B1	20010822		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CA 2147429	AA	19951021	CA 1995-2147429	19950420
	CN 1112560	A	19951129	CN 1995-104192	19950420
	CN 1056143	B	20000906		
	JP 08003135	A2	19960109	JP 1995-94676	19950420
	JP 2962186	B2	19991012		
	JP 2001002571	A2	20010109	JP 2000-175490	19950420
	EP 1103544	A2	20010530	EP 2001-103999	19950420
	EP 1103544	A3	20010606		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	AT 204566	E	20010915	AT 1995-302647	19950420
	ES 2161828	T3	20011216	ES 1995-302647	19950420
	PT 682015	T	20020130	PT 1995-302647	19950420

	US 5932593	A	19990803	US 1997-917180	19970825
	JP 11246526	A2	19990914	JP 1998-372550	19981228
	JP 3215676	B2	20011009		
	US 2002019533	A1	20020214	US 1999-245846	19990208
	US 2002147195	A1	20021010	US 2002-101980	20020321
	US 2004063701	A1	20040401	US 2003-658322	20030910
PRAI	JP 1994-81499	A	19940420		
	EP 1995-302647	A3	19950420		
	JP 1995-94676	A3	19950420		
	JP 1998-372550	A3	19950420		
	US 1995-425645	B1	19950420		
	US 1997-917180	A1	19970825		
	US 1999-245846	B3	19990208		
	US 2002-101980	B1	20020321		

OS MARPAT 124:175843

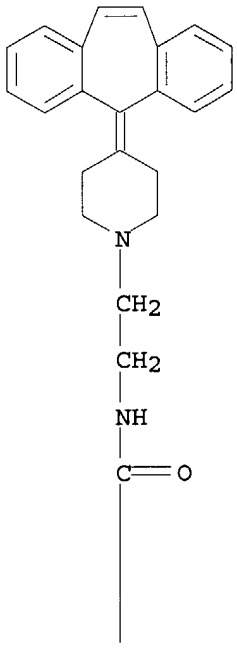
IT **173722-14-0P**

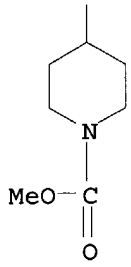
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine-derivative blood platelet aggregation inhibitors and
serotonin antagonists)

RN 173722-14-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[2-[4-(5H-dibenzo[a,d]cycloheptен-5-ylidene)-1-piperidinyl]ethyl]amino]carbonyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A





● HCl